

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
6 January 2005 (06.01.2005)

PCT

(10) International Publication Number
WO 2005/000794 A1

(51) International Patent Classification⁷: **C07C 235/24**,
255/58, C07D 213/68, A61K 31/395, 31/277, 31/167,
A61P 5/26, 15/16

(74) Agent: **ORION CORPORATION**; ORION PHARMA,
Legal Affairs and Intellectual Property Rights, P.O.Box 65,
FI-02101 Espoo (FI).

(21) International Application Number:
PCT/FI2004/000387

(22) International Filing Date: 24 June 2004 (24.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/482,713 27 June 2003 (27.06.2003) US
20030958 27 June 2003 (27.06.2003) FI

(71) Applicant (for all designated States except US): **ORION CORPORATION** [FI/FI]; Orionintie 1, FI-02200 Espoo (FI).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **RATILAINEN, Jari** [FI/FI]; Liksenjoentie 54, FI-80910 Kulho (FI). **MOILANEN, Anu** [FI/FI]; Karviaiskatu 2 J 43, FI-20720 Turku (FI). **TÖRMÄKANGAS, Olli** [FI/FI]; Rossinpolku 2 D 4, FI-20380 Turku (FI). **KARJALAINEN, Arja** [FI/FI]; Iltatie 4 B 6, FI-02210 Espoo (FI). **HUHTALA, Paavo** [FI/FI]; Kuukausikuja 3 B 7, FI-02200 Espoo (FI). **WOHLFAHRT, Gerd** [DE/FI]; Vattuniemenkatu 14 C 35, FI-00210 Helsinki (FI). **KALLIO, Pekka** [FI/FI]; Karviaiskatu 2 J 43, FI-20720 Turku (FI).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

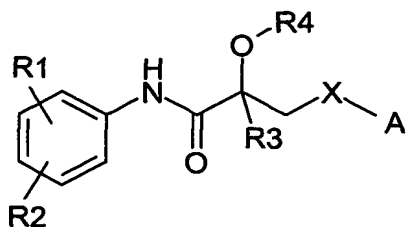
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **PROPIONAMIDE DERIVATIVES USEFUL AS ANDROGEN RECEPTOR MODULATORS**



(I)

(57) Abstract: Compounds of formula (I) wherein R1 to R4, X and A are as defined in the claims and pharmaceutically acceptable salts and esters thereof, are disclosed. The compounds of formula (I) possess utility as tissue-selective androgen receptor modulators (SARM) and are useful in hormonal therapy, e.g. in the treatment or prevention of male hypogonadism and age-related conditions such as andropause.